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 * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

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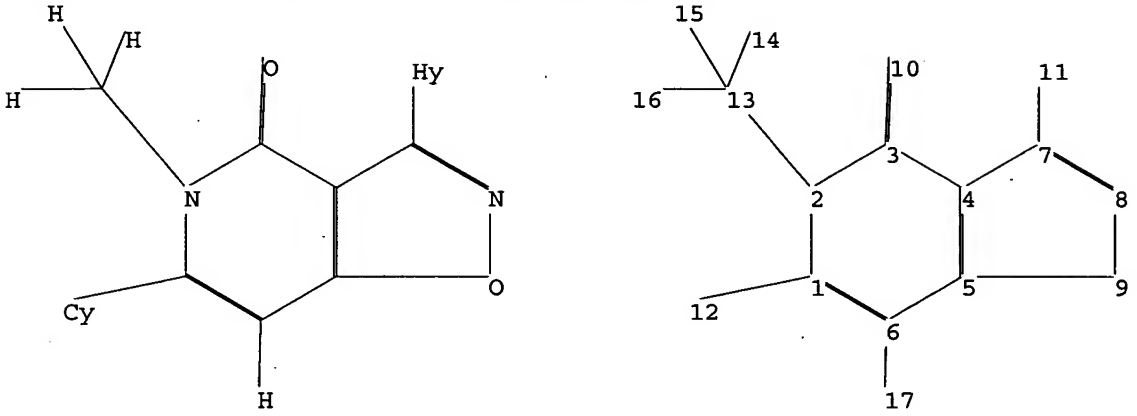


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ring bonds :
1-2  1-6  2-3  3-4  4-5  4-7  5-6  5-9  7-8  8-9
exact/norm bonds :
1-2  1-6  1-12  2-3  2-13  3-4  3-10  4-5  5-6  7-8  7-11
exact bonds :
4-7  5-9  6-17  8-9  13-14  13-15  13-16
isolated ring systems :
containing 1 :


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:CLASS
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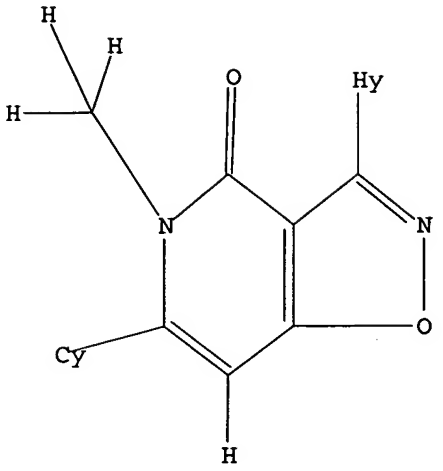

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L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:739975 CAPLUS
DOCUMENT NUMBER: 141:243542
TITLE: Preparation of isoxazolopyridone derivatives as metabotropic glutamate receptor antagonists
INVENTOR(S): Nakamura, Masayuki; Kurihara, Hideki; Ohkubo, Mitsuru; Tsukamoto, Naohiro
PATENT ASSIGNEE(S): Japan
SOURCE: U.S. Pat. Appl. Publ., 21 pp., Cont.-in-part of Appl. No. PCT/JP02/020589.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004176407	A1	20040909	US 2003-732988	20031210
WO 2002102807	A1	20021227	WO 2002-JP5898	20020613

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2001-179801 A 20010614
WO 2002-JP5898 A2 20020613

OTHER SOURCE(S): MARPAT 141:243542
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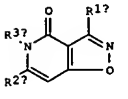
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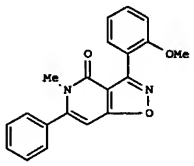
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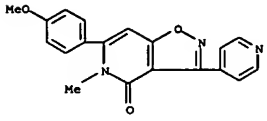
AB Title compds. I (wherein R1a and R2a are each independently a heteroaryl or Ph group which may be substituted; and R3a is Me, with the provisos that when R1a is unsubstituted Ph, R2a is not p-substituted Ph wherein the substituent is OMe, Cl, Me, CF3, F, CH2Br, or CH2NMe2, or unsubstituted heteroaryl and that when R1a is 4-tolyl or 4-fluorophenyl, R2a is not unsubstituted Ph, 4-methoxyphenyl or 4-fluorophenyl) and their pharmaceutically acceptable salts, exhibiting metabotropic glutamate receptor antagonism and are useful in the treatment of anxiety, depression, schizophrenia, Alzheimer disease, epilepsy, Parkinson's disease, pain, degenerative neuropathy, and so on, are prepd. Thus, refluxing 5-(phenylcarbonylmethyl)-3-(2-methoxyphenyl)-N-methyl-4-isoxazolecarboxamide with p-toluenesulfonic acid in THF for 5 h gave 5-methyl-3-(2-methoxyphenyl)-6-phenylisoxazolo[4,5c]pyridin-4(5H)-one (II). II exhibited activity toward metabotropic glutamate receptor with IC50 of 7.65 nM.

IT **479077-02-6P 479077-04-8P 479077-06-0P 479077-07-1P 479077-08-2P 479077-31-1P 479077-32-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Preparation of isoxazolopyridone derivs. as metabotropic glutamate receptor antagonists)

RN 479077-02-6 CAPLUS
CN Isoxazolo[4,5-c]pyridin-4(5H)-one, 6-(4-methoxyphenyl)-5-methyl-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 479077-04-8 CAPLUS
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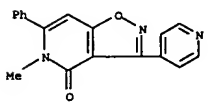

RN 479077-06-0 CAPLUS
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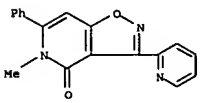

RN 479077-07-1 CAPLUS
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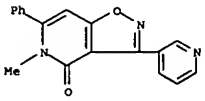

RN 479077-08-2 CAPLUS
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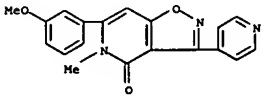

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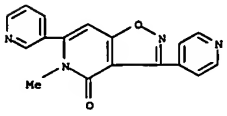

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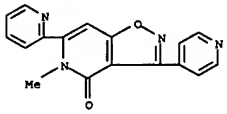

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:977820 CAPLUS
DOCUMENT NUMBER: 138:55955
TITLE: Preparation of isoxazolopyridone derivatives as metabotropic glutamate receptor antagonists
INVENTOR(S): Nakamura, Masayuki; Kurihara, Hideki; Ohkubo, Mitsuru; Tsukamoto, Naohiro
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002102807	A1	20021227	WO 2002-JP5898	20020613
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2451057	AA	20021227	CA 2002-2451057	20020613
EP 1408042	A1	20040414	EP 2002-733499	20020613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004176407	A1	20040909	US 2003-732988	20031210
PRIORITY APPLN. INFO.:			JP 2001-179801	A 20010614
			WO 2002-JP5898	W 20020613

OTHER SOURCE(S): MARPAT 138:55955
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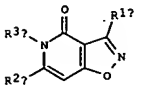
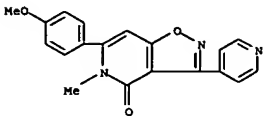


I

AB Title compds. I (wherein R1a and R2a are each independently a heteroaryl or Ph group which may be substituted; and R3a is Me, with the provisos that when R1a is unsubstituted Ph, R2a is not p-substituted Ph wherein the substituent is OMe, Cl, Me, CF3, F, CH2Br, or CH2NMe2, or unsubstituted heteroaryl and that when R1a is 4-tolyl or 4-fluorophenyl, R2a is not unsubstituted Ph, 4-methoxyphenyl or 4-fluorophenyl) and their pharmaceutically acceptable salts., exhibiting metabotropic glutamate receptor antagonism and are useful in the treatment of anxiety, depression, schizophrenia, Alzheimer disease, epilepsy, Parkinson's disease, pain, degenerative neuropathy, and so on, are prepd. Thus, refluxing 5-(4-methoxyphenylcarbonylmethyl)-3-(pyridin-4-yl)-N-methyl-4-isoxazolecarboxamide with p-toluenesulfonic acid in THF for 5 h gave 60% 5-methyl-6-(4-methoxyphenyl)-3-(pyridin-4-yl)isoxazolo[4,5c]pyridin-4(5H)-one. 5-Methyl-3-(2-methoxyphenyl)-6-phenylisoxazolo[4,5c]pyridin-4(5H)-one (II). II exhibited activity toward metabotropic glutamate receptor with IC50 of 7.65 nM.

IT 479077-02-6P 479077-04-8P 479077-06-0P 479077-07-1P 479077-08-2P 479077-31-1P 479077-32-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of isoxazolopyridone derivs. as metabotropic glutamate receptor antagonists)

RN 479077-02-6 CAPLUS
CN Isoxazolo[4,5-c]pyridin-4(5H)-one, 6-(4-methoxyphenyl)-5-methyl-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



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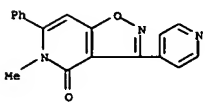

RN 479077-06-0 CAPLUS
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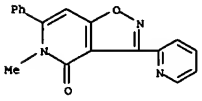

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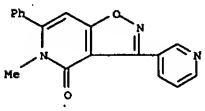

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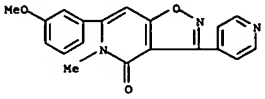

RN 479077-31-1 CAPLUS
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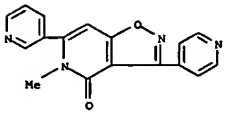

RN 479077-32-2 CAPLUS
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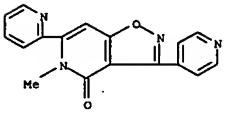

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L5 ANSWER 1 OF 2 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:243542 MARPAT
TITLE: Preparation of isoxazolopyridone derivatives as metabotropic glutamate receptor antagonists
INVENTOR(S): Nakamura, Masayuki; Kurihara, Hideki; Ohkubo, Mitsuru; Tsukamoto, Naohiro
PATENT ASSIGNEE(S): Japan
SOURCE: U.S. Pat. Appl. Publ., 21 pp., Cont.-in-part of Appl. No. PCT/JP02/020589.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004176407	A1	20040909	US 2003-732988	20031210
WO 2002102807	A1	20021227	WO 2002-JP5898	20020613
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: JP 2001-179801 20010614
WO 2002-JP5898 20020613

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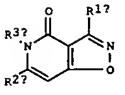
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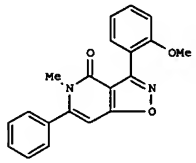
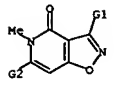

II

AB Title compds. I (wherein R1a and R2a are each independently a heteroaryl or Ph group which may be substituted; and R3a is Me, with the provisos that when R1a is unsubstituted Ph, R2a is not p-substituted Ph wherein the substituent is OMe, Cl, Me, CF3, F, CH2Br, or CH2NMe2, or unsubstituted heteroaryl and that when R1a is 4-tolyl or 4-fluorophenyl, R2a is not unsubstituted Ph, 4-methoxyphenyl or 4-fluorophenyl) and their pharmaceutically acceptable salts, exhibiting metabotropic glutamate receptor antagonism and are useful in the treatment of anxiety, depression, schizophrenia, Alzheimer disease, epilepsy, Parkinson's disease, pain, degenerative neuropathy, and so on, are prepd. Thus, refluxing 5-(phenylcarbonylmethyl)-3-(2-methoxyphenyl)-N-methyl-4-isoxazolecarboxamide with p-toluenesulfonic acid in THF for 5 h gave 5-methyl-3-(2-methoxyphenyl)-6-phenylisoxazolo[4,5c]pyridin-4(5H)-one (II). II exhibited activity toward metabotropic glutamate receptor with IC50 of 7.65 nM.

L5 ANSWER 1 OF 2 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

MSTR 1



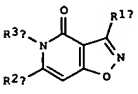
G1 = pyridyl (opt. substd.)
G2 = Ph (opt. substd. by G4)
Patent location: claim 1
Note: substitution is restricted
Note: or pharmaceutically acceptable salts

L5 ANSWER 2 OF 2 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 138:55955 MARPAT
TITLE: Preparation of isoxazolopyridone derivatives as metabotropic glutamate receptor antagonists
INVENTOR(S): Nakamura, Masayuki; Kurihara, Hideki; Ohkubo, Mitsuru; Tsukamoto, Naohiro
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002102807	A1	20021227	WO 2002-JP5898	20020613
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2451057	AA	20021227	CA 2002-2451057	20020613
EP 1408042	A1	20040414	EP 2002-733499	20020613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004176407	A1	20040909	US 2003-732988	20031210

PRIORITY APPLN. INFO.: JP 2001-179801 20010614
WO 2002-JP5898 20020613

GI

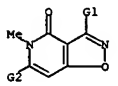

I

AB Title compds. I (wherein R1a and R2a are each independently a heteroaryl or Ph group which may be substituted; and R3a is Me, with the provisos that when R1a is unsubstituted Ph, R2a is not p-substituted Ph wherein the substituent is OMe, Cl, Me, CF3, F, CH2Br, or CH2NMe2, or unsubstituted heteroaryl and that when R1a is 4-tolyl or 4-fluorophenyl, R2a is not unsubstituted Ph, 4-methoxyphenyl or 4-fluorophenyl) and their pharmaceutically acceptable salts., exhibiting metabotropic glutamate receptor antagonism and are useful in the treatment of anxiety, depression, schizophrenia, Alzheimer disease, epilepsy, Parkinson's disease, pain, degenerative neuropathy, and so on, are prepared Thus, refluxing 5-(4-methoxyphenylcarbonylmethyl)-3-(pyridin-4-yl)-N-methyl-4-isoxazolecarboxamide with p-toluenesulfonic acid in THF for 5 h gave 60%

L5 ANSWER 2 OF 2 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

5-methyl-6-(4-methoxyphenyl)-3-(pyridin-4-yl)isoxazolo[4,5c]pyridin-4(5H)-one. 5-Methyl-3-(2-methoxyphenyl)-6-phenylisoxazolo[4,5c]pyridin-4(5H)-one (II). II exhibited activity toward metabotropic glutamate receptor with IC50 of 7.65 nM.

MSTR 1



G1 = pyridyl
G2 = Ph (opt. substd.)
Derivative: or pharmaceutically acceptable salts
Patent location: claim 1

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L1              STRUCTURE UPLOADED
L2            0 S L1 SAM
L3            7 S L1 FULL

     FILE 'CAPLUS' ENTERED AT 09:15:41 ON 17 AUG 2005
L4            2 S L3

     FILE 'MARPAT' ENTERED AT 09:15:54 ON 17 AUG 2005
L5            2 S L1 FULL

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---Logging off of STN---


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STN INTERNATIONAL LOGOFF AT 09:16:14 ON 17 AUG 2005
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